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(phosphocholine and (prodrug or propofol or paclitaxel)).CLM.	3

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L1: Entry 1 of 3 File: USPT Aug 10, 2004

DOCUMENT-IDENTIFIER: US 6774121 B1
TITLE: Phospholipid prodrugs of anti-proliferative drugs

CLAIMS:

1. A prodrug of the general formula I ##STR2##

or a pharmaceutically acceptable salt thereof, wherein: R1 is a saturated or unsaturated, straight-chain or branched, substituted or unsubstituted hydrocarbon chain having from 2 to 30 carbon atoms; R2 is H or a phospholipid head group; Z is saturated or unsaturated, straight-chain or branched, substituted or unsubstituted hydrocarbon chain having from 2 to 15 carbon atoms, which may include cyclic elements and is optionally interrupted by one or more atoms selected from oxygen and sulfur atoms; X is a direct covalent bond or selected from the group consisting of O, S, NH and C(O) groups; and D is the residue of an anti-proliferative drug, and wherein the anti-proliferative drug is methotrexate or pharmaceutically acceptable derivatives thereof, wherein the bound anti-proliferative drug residue is an inactive form of the drug which is selectively activated in cells and tissues with elevated phospholipase activity.

2. The prodrug according to claim 1, wherein an ester bond at position sn-2 of the phospholipid of the general formula I is cleaveable by a lipase.

3. The prodrug according to claim 2, wherein said phospholipase is phospholipase A.sub.2 (PLA.sub.2).

4. The prodrug according to claim 1, wherein R1 is an hydrocarbon chain having from 5 to 20 carbon atoms.

5. The prodrug according to claim 1, wherein R1 is an hydrocarbon chain having 15 or 17 carbon atoms.

6. The prodrug according to claim 1, wherein R2 is selected from the group consisting of choline, ethanolamine, inositol and serine.

7. The compound according to claim 1 selected from the group consisting of: 1-Stearoyl-2-[3-[.alpha.-MTX amido)-Propanoyl]-sn-Glycero-3-phosphocholine, 1-Stearoyl-2-[3-[.gamma.-dodecylate-.alpha.-MTX amido)-Propanoyl]-sn-Glycero-3-phosphocholine, 1-Stearoyl-2-[4-(.alpha.-MTX amido)-Butanoyl]-sn-Glycero-3-phosphocholine, 1-Stearoyl-2-[6-(.alpha.-MTX-amido)-Hexanoyl]-sn-Glycero-3-phosphocholine, 1-Stearoyl-2-[8-(.alpha.-MTX-amido)-Octanoyl]-sn-Glycero-3-phosphocholine, and 1-Stearoyl-2-[3-(.alpha.-dodecylate-.gamma.-MTX-amido)-Propanoyl]-sn-Glycer o-3-phosphocholine.

8. The prodrug according to claim 1, which is 1-Stearoyl-2-[3-[.alpha.-MTX amido)-Propanoyl]-sn-Glycero-3-phosphocholine.

9. The prodrug according to claim 1, which is 1-Stearoyl-2-[3-(.alpha.-dodecylate-.gamma.-MTX-amido)-Propanoyl]-sn-Glyce ro-3-phosphocholine.

10. The prodrug according to claim 1, wherein the methotrexate is bound into Formula I at the .alpha.-carboxyl group of methotrexate.

11. The prodrug according to claim 1, wherein the methotrexate is bound into Formula I at the .gamma.-carboxyl group of methotrexate.

12. A pharmaceutical composition comprising, as an active ingredient, a prodrug of the general formula I according to claim 1 and a pharmaceutically acceptable carrier.

17. A method of manufacturing a medicament which comprises combining a prodrug of the general formula I according to claim 1 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier.

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L1: Entry 2 of 3 File: USPT Dec 3, 2002

DOCUMENT-IDENTIFIER: US 6489369 B1
TITLE: Phosphocholine surfactants and their use

CLAIMS:

1. A pharmaceutical formulation comprising a pharmaceutically active agent, which is insoluble or sparingly soluble in water and a sterol phosphocholine surfactant of the formula: ##STR11##

wherein R contains about 4 to 24 carbon atoms, may be saturated or unsaturated, and may be straight chain aliphatic or branched chain aliphatic group; and Z is selected from the group consisting of choline, ethanolamine, -methyl ethanolamine, N,N-dimethyl ethanolamine, serine, threonine, or tyrosine and a pharmaceutically acceptable carrier or diluent.

2. A pharmaceutical formulation comprising a pharmaceutically active agent which is insoluble or sparingly soluble in water, and a sterol phosphocholine surfactant of the formula: ##STR12##

wherein R contains about 4 to 24 carbon atoms, may be saturated or unsaturated, and may be straight chain aliphatic or branched chain aliphatic group; and Z is selected from the group consisting of choline, ethanolamine, -methyl ethanolamine, N,N-dimethyl ethanolamine, serine, threonine, or tyrosine and a pharmaceutically acceptable carrier or diluent.

3. A pharmaceutical formulation comprising a pharmaceutically active agent which is insoluble or sparingly soluble in water, and a sterol phosphocholine surfactant of the formula: ##STR13##

wherein R contains about 4 to 24 carbon atoms, may be saturated or unsaturated, and may be straight chain aliphatic or branched chain aliphatic group, and X.dbd.H or OH; and Z is selected from the group consisting of choline, ethanolamine, N-methyl ethanolamine, N,N-dimethyl ethanolamine, serine, threonine, or tyrosine and a pharmaceutically acceptable carrier or diluent.

4. A pharmaceutical formulation comprising a pharmaceutically active agent which is insoluble or sparingly soluble in water, and a sterol phosphocholine surfactant of the formula: ##STR14##

wherein R contains about 4 to 24 carbon atoms, may be saturated or unsaturated, and may be straight chain aliphatic or branched chain aliphatic group; and Z is selected from the group consisting of choline, ethanolamine, N-methyl ethanolamine, N,N-dimethyl ethanolamine, serine, threonine, or tyrosine and a pharmaceutically acceptable carrier or diluent.

11. The formulation of claim 1 wherein the pharmaceutically active agent is selected from the group consisting of etoposide, paclitaxel, propofol and cyclosporin.

13. The formulation of claim 4 wherein the pharmaceutically active agent is

selected from the group consisting of etoposide, paclitaxel, propofol and cyclosporin.

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L1: Entry 3 of 3 File: USPT Jul 9, 1996

DOCUMENT-IDENTIFIER: US 5534499 A
TITLE: Lipophilic drug derivatives for use in liposomes

CLAIMS:

1. A pharmaceutical compound for use in liposome and micellar formulations, said compound being a member selected from the group consisting of compounds of formula I and compounds of formula II: ##STR21## wherein, A is a member selected from the group consisting of a serine radical, an ethanolamine radical, a choline radical, a phosphocholine radical, a phosphoserine radical, a phosphoethanolamine radical, a glycerol radical, a phosphoglycerol radical, an inositol radical, a phosphoinositol radical, --NR.sup.1 R.sup.2, --OCOR.sup.3, --OH, --O--glucose, --O--galactose and --O--oligosaccharide;

wherein,

R.sup.1 and R.sup.2 are each members independently selected from the group consisting of H and lower alkyl; and

R.sup.3 is a member selected from the group consisting of alkyl radicals and unsaturated alkyl radicals;

X.sup.1 and X.sup.2 are each members independently selected from the group consisting of alkyl, unsaturated alkyl, alkyl linking group, and unsaturated alkyl linking group;

Y.sup.1 and Y.sup.2 are each members independently selected from the group consisting of --S--, --NH--, --NHCO--, --CO(CH.sub.2).sub.p CO.sub.2 --, --O--, .dbd.NNHCO--, --CO-- and --CO(CH.sub.2).sub.p CONH--, wherein p is an integer of from 0 to 8;

Z.sup.1 and Z.sup.2 are each independently a therapeutic agent; and

m and n are each independently an integer of from 0 to 1, with the proviso that n+m is at least 1; and with the further provisos that when m is 0, X.sup.1 is not a linking group, and when n is 0 that X.sup.2 is not a linking group.

2. A pharmaceutical compound of claim 1 wherein said compound is of formula I, and A is a member selected from the group consisting of a phosphocholine radical, a phosphoserine radical, a phosphoethanolamine radical, a phosphoglycerol radical, and a phosphoinositol radical.

5. A pharmaceutical compound of claim 2 wherein m is 0, X.sup.1 is alkyl and Z.sup.2 is a therapeutic agent selected from the group consisting of paclitaxel, doxorubicin and podophyllotoxin.

6. A pharmaceutical compound of claim 2 wherein n is 0, X.sup.2 is alkyl and Z.sup.1 is a therapeutic agent selected from the group consisting of paclitaxel,

doxorubicin and podophyllotoxin.

7. A pharmaceutical compound of claim 3 wherein m is 0, X.sup.1 is alkyl and Z.sup.2 is a therapeutic agent selected from the group consisting of paclitaxel, doxorubicin and podophyllotoxin.

8. A pharmaceutical compound of claim 3 wherein n is 0, X.sup.2 is alkyl and Z.sup.1 is a therapeutic agent selected from the group consisting of paclitaxel, doxorubicin and podophyllotoxin.

9. A pharmaceutical compound of claim 4 wherein m is 0, X.sup.1 is alkyl and Z.sup.2 is a therapeutic agent selected from the group consisting of paclitaxel, doxorubicin and podophyllotoxin.

10. A pharmaceutical compound of claim 4 wherein n is 0, X.sup.2 is alkyl and Z.sup.1 is a therapeutic agent selected from the group consisting of paclitaxel, doxorubicin and podophyllotoxin.

11. A pharmaceutical composition comprising a compound selected from the group consisting of compounds of formula I and compounds of formula II: ##STR22## wherein, A is a member selected from the group consisting of a serine radical, an ethanolamine radical, a choline radical, a phosphocholine radical, a phosphoserine radical, a phosphoethanolamine radical, a glycerol radical, a phosphoglycerol radical, an inositol radical, a phosphoinsitol radical, --NR.sup.1 R.sup.2, --OCOR.sup.3, --OH, --O--glucose, --O--galactose and --O--oligosaccharide;

wherein,

R.sup.1 and R.sup.2 are each members independently selected from the group consisting of H and lower alkyl; and

R.sup.3 is a member selected from the group consisting of alkyl radicals and unsaturated alkyl radicals;

X.sup.1 and X.sup.2 are each members independently selected from the group consisting of alkyl, unsaturated alkyl, alkyl linking group, and unsaturated alkyl linking group;

Y.sup.1 and Y.sup.2 are each members independently selected from the group consisting of --S--, --NH--, --NHCO--, --CO(CH.sub.2).sub.p CO.sub.2 --, --O--, .dbd.NNHCO--, --CO-- and --CO(CH.sub.2).sub.p CONH--, wherein p is an integer of from 0 to 8;

Z.sup.1 and Z.sup.2 are each independently a therapeutic agent; and

m and n are each independently an integer of from 0 to 1, with the proviso that n+m is at least 1, and with the further provisos that when m is 0 that X.sup.1 is not a linking group, and when n is 0 that X.sup.2 is not a linking group, in a micellar formulation.

15. A pharmaceutical composition comprising a compound selected from the group consisting of compounds of formula I and compounds of formula II: ##STR23## wherein, A is a member selected from the group consisting of a serine radical, an ethanolamine radical, a choline radical, a phosphocholine radical, a phosphoserine radical, a phosphoethanolamine radical, a glycerol radical, a phosphoglycerol radical, an inositol radical, a phosphoinositol radical and --NR.sup.1 R.sup.2, --OCOR.sup.3, hydrogen, --O--glucose, --O--galactose and --O--oligosaccharide;

wherein,

R.sup.1 and R.sup.2 are each members independently selected from the group consisting of H and lower alkyl; and

R.sup.3 is a member selected from the group consisting of alkyl radicals and unsaturated alkyl radicals;

X.sup.1 and X.sup.2 are each members independently selected from the group consisting of alkyl, unsaturated alkyl, alkyl linking group, and unsaturated alkyl linking group;

Y.sup.1 and Y.sup.2 are each members independently selected from the group consisting of --S--, --NH--, --NHCO--, --CO(CH.sub.2).sub.p CO.sub.2 --, --O--, .dbd.NNHCO--, --CO-- and --CO(CH.sub.2).sub.p CONH--, wherein p is an integer of from 0 to 8;

Z.sup.1 and Z.sup.2 are each independently a therapeutic agent; and

m and n are each independently an integer of from 0 to 1, with the proviso that n+m is at least 1, and with the further provisos that when m is 0 that X.sup.1 is not a linking group, and when n is 0 that X.sup.2 is not a linking group, in a liposomal formulation.

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